

Application Number: 10/821,382

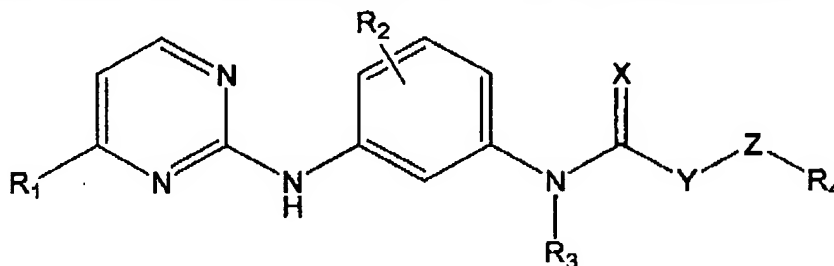
RECEIVED
CENTRAL FAX CENTER

SEP 27 2006

Amendments on the original claims

What is claimed is:

1. (currently amended) A phenylaminopyrimidine ~~derivative~~ compound of formula (I)



Formula (I)

Wherein

X is oxygen or sulfur,

Y is a direct bond, oxygen, nitrogen or lower alkyl,

Z is an aliphatic, cycloaliphatic, aryl or a heterocyclyl radical,

R₁ is heterocyclyl radical,R₂ is hydrogen, halogen, halogenlower alkyl, lower alkyl or lower alkoxy,R₃ is hydrogen or lower alkyl,

R₄ is oxy-lower alkylamino, lower alkyl oxy-lower alkylamino, oxyheterocyclyl, lower alkyl oxyheterocyclyl, oxy-lower alkylheterocyclyl, lower alkyl oxy-lower alkylheterocyclyl, halogenlower alkylamino, halogenlower alkylheterocyclyl, ~~amino lower alkylamino,~~ lower alkylamino lower alkylamino,

aminoheterocyclyl with the proviso that heterocyclyl defined herein is not selected from N-lower alkylpyrrolidinyl or N-lower alkylpiperidinyl,

lower alkylamino heterocyclyl with the proviso that lower alkyamino defined herein is not para-substituted with -CH₂NH- when Z is the phenyl ring,

amino lower alkylheterocyclyl or lower alkylamino lower alkylheterocyclyl,

or a pharmaceutically acceptable salt thereof.

2. (currently amended) A compound of Formula (I) according to claim 1, wherein

X is oxygen or sulfur,

Application Number: 10/821,382

Y is a direct bond, oxygen, nitrogen or lower alkyl,

Z is an aliphatic, cycloaliphatic, aryl or a heterocyclyl radical,

R₁ is heterocyclyl radical,

R₂ is hydrogen, halogen, halogenlower alkyl, lower alkyl or lower alkoxy,

R₃ is hydrogen or lower alkyl,

R₄ is:

(a) oxy-lower alkyl unsubstituted, mono or disubstituted amino; oxy-lower alkyl morpholinyl, oxy-lower alkyl pyrrolidinyl, oxy-lower alkyl piperidinyl, oxy-lower alkyl piperazinyl, ~~oxy-lower alkyl aminopyridinyl~~, oxy-pyrrolidinyl, oxy-piperidinyl,

(b) lower alkyl oxy-lower alkyl unsubstituted, mono or disubstituted amino; lower alkyl oxy-lower alkyl morpholinyl, lower alkyl oxy-lower alkyl pyrrolidinyl, lower alkyl oxy-lower alkyl piperidinyl, lower alkyl oxy-lower alkyl piperazinyl, ~~lower alkyl oxy-lower alkyl aminopyridinyl~~, lower alkyl oxy-pyrrolidinyl, lower alkyl oxy-piperidinyl,

(c) mono or difluoro substituted lower alkyl unsubstituted, mono or disubstituted amino; mono or difluoro substituted lower alkyl morpholinyl, mono or difluoro substituted lower alkyl pyrrolidinyl, mono or difluoro substituted lower alkyl piperidinyl, mono or difluoro substituted lower alkyl piperazinyl, ~~mono or difluoro substituted lower alkyl aminopyridinyl~~,

(d) ~~amino lower alkyl unsubstituted, mono or disubstituted amino~~; amino lower alkyl morpholinyl, amino lower alkyl pyrrolidinyl, amino lower alkyl piperidinyl, amino lower alkyl piperazinyl, ~~amino lower alkyl aminopyridinyl~~,

amino pyrrolidinyl, amino piperidinyl with the proviso that pyrrolidinyl or piperidinyl defined herein is not selected from N-lower alkylpyrrolidinyl or N-lower alkyl piperidinyl.

(e) lower alkylamino lower alkyl unsubstituted, mono or disubstituted amino; lower alkylamino lower alkyl morpholinyl, lower alkylamino lower alkyl pyrrolidinyl, lower alkylamino lower alkyl piperidinyl, lower alkylamino lower alkyl piperazinyl, ~~lower alkylamino lower alkyl aminopyridinyl~~,

lower alkylamino heterocyclyl with the proviso that lower alkylamino defined herein is not para-substituted with -CH₂NH- when Z is the phenyl ring.

or a pharmaceutically acceptable salt thereof.

Application Number: 10/821,382

3. (currently amended) A compound of Formula (I) according to claim 1, wherein

X is oxygen or sulfur,

Y is a direct bond,

Z is an aliphatic, cycloaliphatic, aryl or a heterocyclyl radical,

R₁ is heterocyclyl radical,

R₂ is hydrogen, halogen, halogenlower alkyl, lower alkyl or lower alkoxy,

R₃ is hydrogen or lower alkyl,

R₄ is:

(a) oxy-lower alkyl unsubstituted, mono or disubstituted amino; oxy-lower alkyl morpholinyl, oxy-lower alkyl pyrrolidinyl, oxy-lower alkyl piperidinyl, oxy-lower alkyl piperazinyl, ~~oxy-lower-alkyl-aminopyridinyl~~, oxy-pyrrolidinyl, oxy-piperidinyl,

(b) lower alkyl oxy-lower alkyl unsubstituted, mono or disubstituted amino; lower alkyl oxy-lower alkyl morpholinyl, lower alkyl oxy-lower alkyl pyrrolidinyl, lower alkyl oxy-lower alkyl piperidinyl, lower alkyl oxy-lower alkyl piperazinyl, ~~lower-alkyl-oxy-lower-alkyl-aminopyridinyl~~, lower alkyl oxy-pyrrolidinyl, lower alkyl oxy-piperidinyl,

(c) mono or difluoro substituted lower alkyl unsubstituted, mono or disubstituted amino; mono or difluoro substituted lower alkyl morpholinyl, mono or difluoro substituted lower alkyl pyrrolidinyl, mono or difluoro substituted lower alkyl piperidinyl, mono or difluoro substituted lower alkyl piperazinyl, ~~mono or difluoro substituted lower alkyl aminopyridinyl~~,

(d) ~~amino lower alkyl unsubstituted, mono or disubstituted amino~~; amino lower alkyl morpholinyl, amino lower alkyl pyrrolidinyl, amino lower alkyl piperidinyl, amino lower alkyl piperazinyl, ~~amino lower alkyl aminopyridinyl~~,

amino pyrrolidinyl, amino piperidinyl with the proviso that pyrrolidinyl or piperidinyl defined herein is not selected from N-lower alkylpyrrolidinyl or N-lower alkyl piperidinyl,

(e) lower alkylamino lower alkyl unsubstituted, mono or disubstituted amino; lower alkylamino lower alkyl morpholinyl, lower alkylamino lower alkyl pyrrolidinyl, lower alkylamino lower alkyl piperidinyl, lower alkylamino lower alkyl piperazinyl, ~~lower-alkylamino-lower-alkyl-aminopyridinyl~~,

Application Number: 10/821,382

lower alkylamino heterocyclyl with the proviso that lower alkyamino defined herein is not para-substituted with $-\text{CH}_2\text{NH}-$ when Z is the phenyl ring,
or a pharmaceutically acceptable salt thereof.

4. (currently amended) A compound of Formula (I) according to claim 1, wherein

X is oxygen or sulfur,

Y is a direct bond,

Z is aryl,

R₁ is heterocyclyl radical,

R₂ is hydrogen, halogen, halogenlower alkyl, lower alkyl or lower alkoxy,

R₃ is hydrogen or lower alkyl,

R₄ is:

(a) oxy-lower alkyl unsubstituted, mono or disubstituted amino; oxy-lower alkyl morpholinyl, oxy-lower alkyl pyrrolidinyl, oxy-lower alkyl piperidinyl, oxy-lower alkyl piperazinyl, ~~oxy-lower alkyl aminopyridinyl~~, oxy-pyrrolidinyl, oxy-piperidinyl,

(b) lower alkyl oxy-lower alkyl unsubstituted, mono or disubstituted amino; lower alkyl oxy-lower alkyl morpholinyl, lower alkyl oxy-lower alkyl pyrrolidinyl, lower alkyl oxy-lower alkyl piperidinyl, lower alkyl oxy-lower alkyl piperazinyl, ~~lower alkyl oxy-lower alkyl aminopyridinyl~~, lower alkyl oxy-pyrrolidinyl, lower alkyl oxy-piperidinyl,

(c) mono or difluoro substituted lower alkyl unsubstituted, mono or disubstituted amino; mono or difluoro substituted lower alkyl morpholinyl, mono or difluoro substituted lower alkyl pyrrolidinyl, mono or difluoro substituted lower alkyl piperidinyl, mono or difluoro substituted lower alkyl piperazinyl, ~~mono or difluoro substituted lower alkyl aminopyridinyl~~,

(d) ~~amino lower alkyl unsubstituted, mono or disubstituted amino~~; amino lower alkyl morpholinyl, amino lower alkyl pyrrolidinyl, amino lower alkyl piperidinyl, amino lower alkyl piperazinyl, ~~amino lower alkyl aminopyridinyl~~,

amino pyrrolidinyl, amino piperidinyl with the proviso that pyrrolidinyl or piperidinyl defined herein is not selected from N-lower alkylpyrrolidinyl or N-lower alkyl piperidinyl,

(e) lower alkylamino lower alkyl unsubstituted, mono or disubstituted amino; lower alkylamino lower alkyl morpholinyl, lower alkylamino lower alkyl pyrrolidinyl,

Application Number: 10/821,382

lower alkylamino lower alkyl piperidinyl, lower alkylamino lower alkyl piperazinyl,
~~lower alkylamino lower alkyl aminopyridinyl,~~

lower alkylamino heterocyclyl with the proviso that lower alkyamino defined
herein is not para-substituted with -CH₂NH- when Z is the phenyl ring,

or a pharmaceutically acceptable salt thereof.

5. (currently amended) A compound of Formula (I) according to claim 1, wherein

X is oxygen or sulfur,

Y is a direct bond,

Z is aryl,

R₁ is heterocyclyl radical,

R₂ is halogenlower alkyl or lower alkyl,

R₃ is hydrogen or lower alkyl,

R₄ is:

(a) oxy-lower alkyl unsubstituted, mono or disubstituted amino; oxy-lower alkyl morpholinyl, oxy-lower alkyl pyrrolidinyl, oxy-lower alkyl piperidinyl, oxy-lower alkyl piperazinyl, ~~oxy-lower alkyl aminopyridinyl,~~ oxy-pyrrolidinyl, oxy-piperidinyl,

(b) lower alkyl oxy-lower alkyl unsubstituted, mono or disubstituted amino; lower alkyl oxy-lower alkyl morpholinyl, lower alkyl oxy-lower alkyl pyrrolidinyl, lower alkyl oxy-lower alkyl piperidinyl, lower alkyl oxy-lower alkyl piperazinyl, ~~lower alkyl oxy-lower alkyl aminopyridinyl,~~ lower alkyl oxy-pyrrolidinyl, lower alkyl oxy-piperidinyl,

(c) mono or difluoro substituted lower alkyl unsubstituted, mono or disubstituted amino; mono or difluoro substituted lower alkyl morpholinyl, mono or difluoro substituted lower alkyl pyrrolidinyl, mono or difluoro substituted lower alkyl piperidinyl, mono or difluoro substituted lower alkyl piperazinyl, ~~mono or difluoro substituted lower alkyl aminopyridinyl,~~

(d) ~~amino lower alkyl unsubstituted, mono or disubstituted amino;~~ amino lower alkyl morpholinyl, amino lower alkyl pyrrolidinyl, amino lower alkyl piperidinyl, amino lower alkyl piperazinyl, ~~amino lower alkyl aminopyridinyl,~~

amino pyrrolidinyl, amino piperidinyl with the proviso that pyrrolidinyl or piperidinyl defined herein is not selected from N-lower alkylpyrrolidinyl or N-lower alkyl piperidinyl,

Application Number: 10/821,382

(e) lower alkylamino lower alkyl unsubstituted, mono or disubstituted amino; lower alkylamino lower alkyl morpholinyl, lower alkylamino lower alkyl pyrrolidinyl, lower alkylamino lower alkyl piperidinyl, lower alkylamino lower alkyl piperazinyl, ~~lower alkylamino lower alkyl aminopyridinyl,~~

lower alkylamino heterocyclyl with the proviso that lower alkylamino defined herein is not para-substituted with $-\text{CH}_2\text{NH}-$ when Z is the phenyl ring,

or a pharmaceutically acceptable salt thereof.

6. (currently amended) A compound of Formula (I) according to claim 1, wherein

X is oxygen or sulfur,

Y is a direct bond,

Z is aryl,

R₁ is heterocyclyl radical,

R₂ is lower alkyl,

R₃ is hydrogen,

R₄ is:

(a) oxy-lower alkyl unsubstituted, mono or disubstituted amino; oxy-lower alkyl morpholinyl, oxy-lower alkyl pyrrolidinyl, oxy-lower alkyl piperidinyl, oxy-lower alkyl piperazinyl, ~~oxy-lower alkyl aminopyridinyl,~~ oxy-pyrrolidinyl, oxy-piperidinyl,

(b) lower alkyl oxy-lower alkyl unsubstituted, mono or disubstituted amino; lower alkyl oxy-lower alkyl morpholinyl, lower alkyl oxy-lower alkyl pyrrolidinyl, lower alkyl oxy-lower alkyl piperidinyl, lower alkyl oxy-lower alkyl piperazinyl, ~~lower alkyl oxy-lower alkyl aminopyridinyl,~~ lower alkyl oxy-pyrrolidinyl, lower alkyl oxy-piperidinyl,

(c) mono or difluoro substituted lower alkyl unsubstituted, mono or disubstituted amino; mono or difluoro substituted lower alkyl morpholinyl, mono or difluoro substituted lower alkyl pyrrolidinyl, mono or difluoro substituted lower alkyl piperidinyl, mono or difluoro substituted lower alkyl piperazinyl, ~~mono or difluoro substituted lower alkyl aminopyridinyl,~~

(d) ~~amino lower alkyl unsubstituted, mono or disubstituted amino,~~ amino lower alkyl morpholinyl, amino lower alkyl pyrrolidinyl, amino lower alkyl piperidinyl, amino lower alkyl piperazinyl, ~~amino lower alkyl aminopyridinyl,~~

Application Number: 10/821,382

amino pyrrolidinyl, amino piperidinyl with the proviso that pyrrolidinyl or piperidinyl defined herein is not selected from N-lower alkylpyrrolidinyl or N-lower alkyl piperidinyl.

(e) lower alkylamino lower alkyl unsubstituted, mono or disubstituted amino; lower alkylamino lower alkyl morpholinyl, lower alkylamino lower alkyl pyrrolidinyl, lower alkylamino lower alkyl piperidinyl, lower alkylamino lower alkyl piperazinyl, ~~lower alkylamino lower alkyl aminopyridinyl,~~

lower alkylamino heterocyclyl with the proviso that lower alkylamino defined herein is not para-substituted with $-\text{CH}_2\text{NH}-$ when Z is the phenyl ring.

or a pharmaceutically acceptable salt thereof.

7. (currently amended) A compound of Formula (I) according to claim 1, wherein

X is oxygen,

Y is a direct bond,

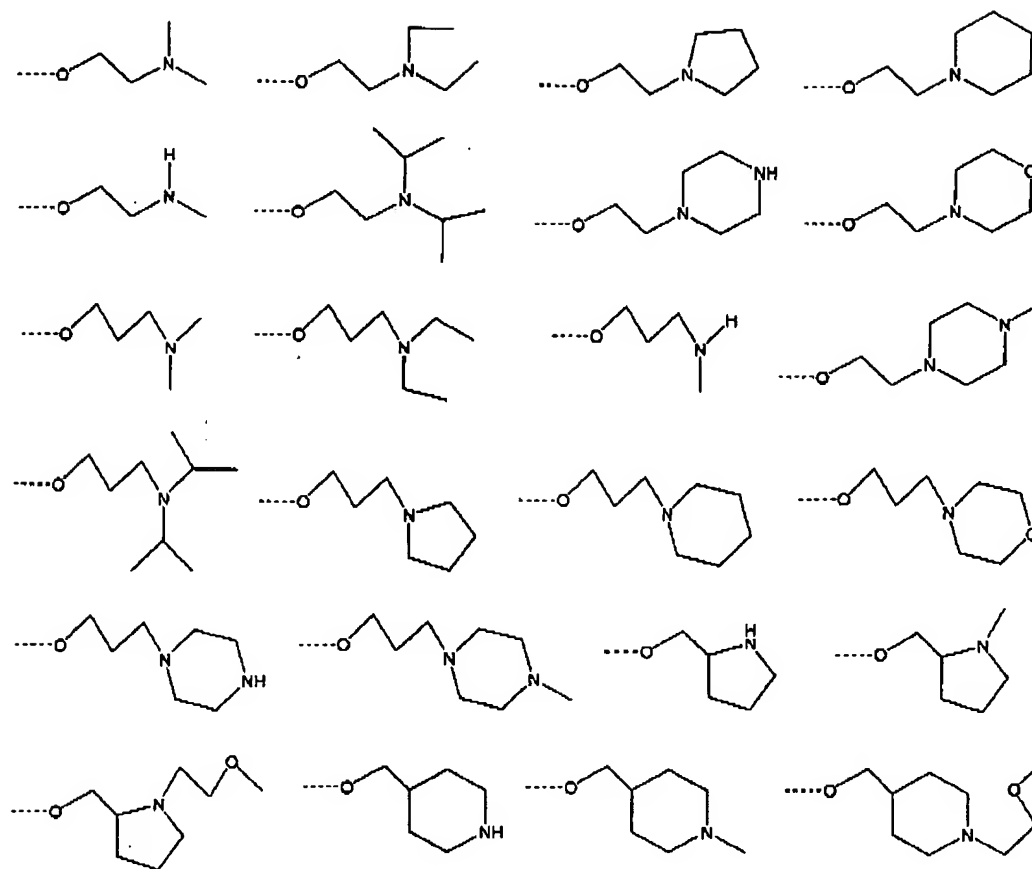
Z is phenyl,

R₁ is: 3-pyridyl or 4-pyridyl

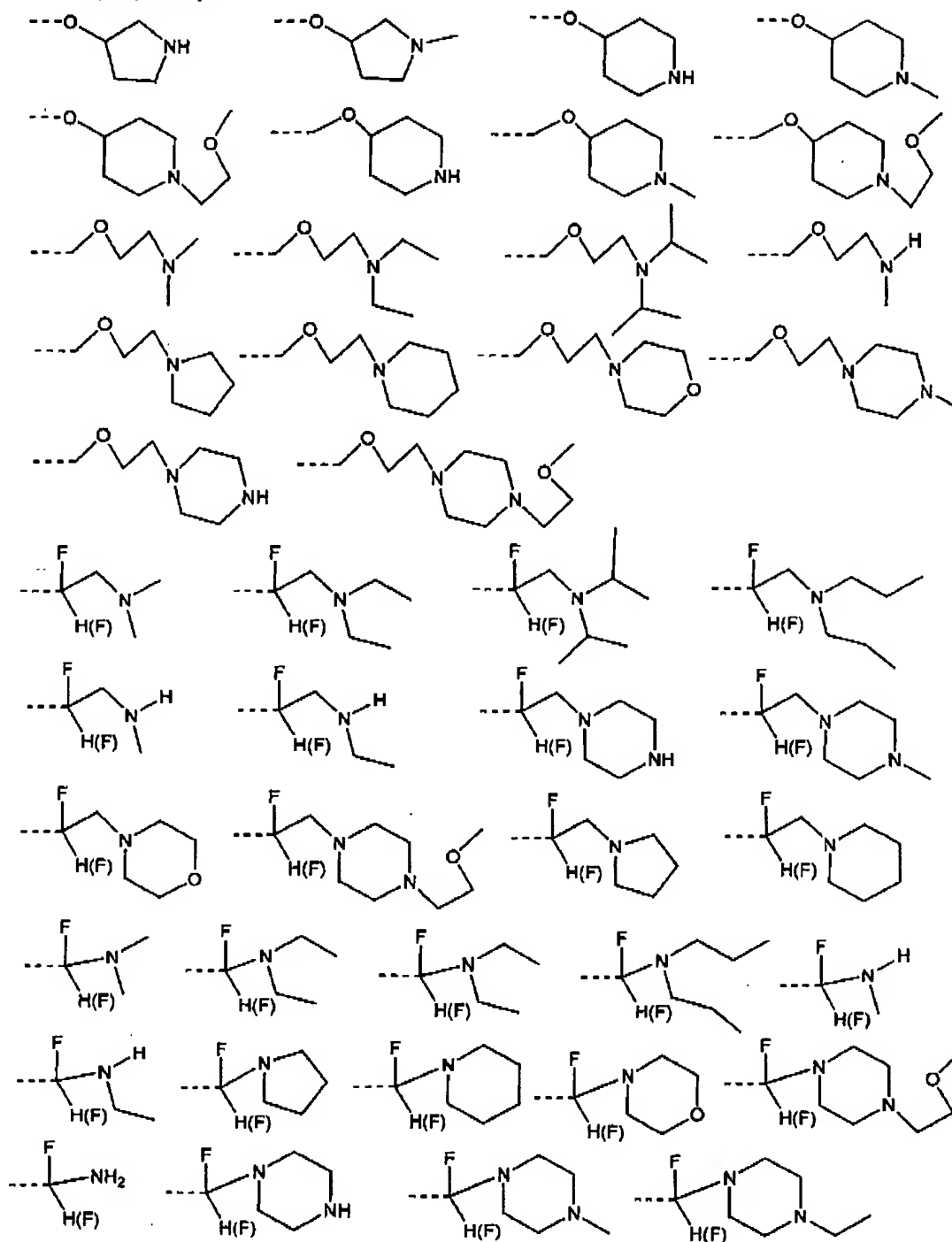
R₂ is: methyl, F, Cl or hydrogen,

R₃ is hydrogen,

Application Number: 10/821,382

 R_4 is:

Application Number: 10/821,382

 R_4 is (cont'd) :

Application Number: 10/821,382

R is hydrogen, lower alkyl, aliphatic, or cycloaliphatic or heterocyclic radicals,
or a pharmaceutically acceptable salt thereof.

8. (currently amended) A compound of Formula (I) according to claim 1 is selected from:

[4-(2-aminoethoxy)phenyl]-N-{4-methyl-3-[(4-(3-pyridyl)-pyrimidin-2-yl)amino]phenyl}carboxamide

~~N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}{4-[(1-methylpyrrolidin-3-yl)amino]phenyl}carboxamide~~

[4-(fluoropiperazinylmethyl)phenyl]-N-{4-methyl-3-[(4-(3-pyridyl)-pyrimidin-2-yl)amino]phenyl}carboxamide

N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}-{4-[(1-methylpyrrolidin-2-yl)methoxy]phenyl}carboxamide

N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}[4-(pyrrolidin-3-ylamino)phenyl]carboxamide

[4-(aminofluoromethyl)phenyl]-N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}carboxamide

N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}[4-(methylpyrrolidin-3-ylamino)phenyl]carboxamide

{4-[fluoro(4-methylpiperazinyl)methyl]phenyl}-N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}carboxamide

[4-(aminodifluoromethyl)phenyl]-N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}carboxamide

~~{4-[methyl(1-methylpyrrolidin-3-yl)amino]phenyl}-N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}carboxamide~~

(4-{fluoro[(1-methylpyrrolidin-3-yl)amino]methyl}phenyl)-N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}carboxamide

{4-[fluoro(methylpyrrolidin-3-ylamino)methyl]phenyl}-N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}carboxamide

[4-({[2-(dimethylamino)ethyl]amino}fluoromethyl)phenyl]-N-{4-methyl-3-[(4-(3-pyridyl)pyrimidin-2-yl)amino]phenyl}carboxamide

